Remarks

By way of this Preliminary Amendment, claims 1-7, 9, and 11-15 are pending. Claims 8 and 10 have been cancelled, and claims 2-7, 11 and 12 have been amended. New claims 13, 14, and 15 have been added. These claim cancellations, amendments, and additions are being made solely for purposes of placing the claims in a format appropriate for U.S. prosecution. Applicants submit that the amendments do not change the scope of the claims as originally filed. Such amendments are therefore made to address formalities in the claim format and are not related to the patentability of the subject matter of the claims. No new matter was added by way of these claim amendments and additions.

Conclusion

Applicants believe that the subject matter of the pending claims is patentable and that the instant application should accordingly be allowed. If the Examiner believes that a conversation with Applicants' attorney would be helpful in expediting prosecution of this application, the Examiner is invited to call the undersigned attorney at (203) 812-6450.

Respectfully submitted,

Dated: June 30, 2004

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New Claims (Attorney Docket No. LeA 35 846)

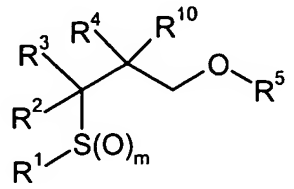
13. (New) The process of claim 7, wherein said oxidizing agent is a peroxide or a peracid.

14. (New) The process of claim 7, wherein said oxidizing agent is meta-chloroperbenzoic acid (mCPBA).

15. (New) The process of claim 7, wherein said leaving group is halogen.

Amended Claims (Attorney Docket No. LeA 35 846)

1. (Original) A compound of the formula



(I),

in which

R^1 and R^2 are independently of one another phenyl which is optionally substituted by radicals selected from the group of halogen, cyano, trifluoromethyl, trifluoromethoxy, C_1-C_6-alkyl, C_3-C_8-cycloalkyl, C_1-C_6-alkoxy and C_1-C_6-alkylthio,

R^3 and R^4 are independently of one another hydrogen, C_1-C_6-alkyl or C_3-C_8-cycloalkyl, which are optionally substituted by hydroxy,

m is 1 or 2,

R^5 is hydrogen,

or a radical of the formula $CO\text{-}NR^6R^7$ in which

R^6 and R^7 are independently of one another hydrogen, C_1-C_6-alkyl, C_3-C_8-cycloalkyl, benzyl, phenethyl, phenyl or 5- to 6-membered heteroaryl, where C_1-C_6-alkyl, C_3-C_8-cycloalkyl, phenyl or 5- to 6-membered heteroaryl are optionally substituted by radicals independently of one another selected from the group of hydroxy, halogen, C_1-C_6-alkylamino, aminosulfonyl, aminocarbonyl, cyano, formamido, acetamido, C_1-C_6-alkyl, C_1-C_6-alkoxy, C_3-C_8-cycloalkyl, hydroxycarbonyl, C_1-C_6-alkoxycarbonyl and 5- to 6-membered heteroaryl,

and

benzyl and phenethyl are optionally substituted by radicals independently of one another selected from the group of hydroxy, halogen, aminocarbonyl, C_1-C_6-

alkylamino, aminosulfonyl, cyano, formamido, acetamido, C_1-C_6-alkyl, C_1-C_6-alkoxy, C_3-C_8-cycloalkyl and 5- to 6-membered heteroaryl,

or in which

the group NR^6R^7

is a 4- to 10-membered heterocyclyl radical which is linked via the nitrogen atom and which is optionally substituted by radicals independently of one another selected from the group of C_1-C_6-alkyl, C_1-C_6-alkoxy, 1,3-dioxapropane-1,3-diyl, 1,4-dioxabutane-1,4-diyl, oxo, C_3-C_8-cycloalkyl, hydroxy, halogen, cyano, C_1-C_6-alkylcarbonyl, C_3-C_8-cycloalkylcarbonyl, phenylcarbonyl, formamido, aminosulfonyl, C_1-C_6-alkoxycarbonyl, aminocarbonyl, phenyl and 5- to 6-membered heteroaryl,

where phenyl is optionally substituted by radicals independently of one another selected from the group of halogen, cyano, trifluoromethyl, trifluoromethoxy, C_1-C_6-alkyl, C_1-C_6-alkoxy and C_1-C_6-alkylsulfonamino, and

C_1-C_6-alkyl is optionally substituted by radicals independently of one another selected from the group of hydroxy, C_1-C_6-alkoxy, phenyl and 5- to 6-membered heteroaryl, and

C_1-C_6-alkylcarbonyl is optionally substituted by radicals independently of one another selected from the group of hydroxy and C_1-C_6-alkoxy,

and where 4- to 10-membered heterocyclyl is optionally benzo-substituted,

or

a radical of the formula $CO\text{-}OR^8$ in which

R^8 is C_1-C_6-alkyl or C_3-C_8-cycloalkyl, which are optionally substituted by radicals independently of one another selected from the group of hydroxy, halogen, aminosulfonyl, aminocarbonyl, cyano, formamido, acetamido, C_1-C_6-alkyl, C_1-C_6-alkoxy, C_3-C_8-cycloalkyl, C_1-C_6-alkylcarbonyl, phenyl and 5- to 6-membered heteroaryl,

or

a radical of the formula $CO-R^9$ in which

R^9 is C_1-C_6-alkyl, C_3-C_8-cycloalkyl, C_6-C_{10}-aryl or 5- to 10-membered heteroaryl, which are optionally substituted by radicals selected from the group of hydroxy, hydroxycarbonyl, halogen, aminosulfonyl, carboxamido, cyano, formamido, acetamido, C_1-C_6-alkyl, C_1-C_6-alkoxy, C_3-C_8-cycloalkyl, C_1-C_6-alkylcarbonyl, phenyl and 5- to 6-membered heteroaryl,

R^{10} is hydrogen or C_1-C_6-alkyl,

and the salts, solvates and solvates of the salts thereof.

2. (Currently amended) ~~A~~ The compound of claim 1 ~~the formula (I)~~

in which

R^1 and R^2 are independently of one another phenyl which is optionally substituted by radicals selected from the group of halogen, cyano, and trifluoromethyl,

R^3 and R^4 are independently of one another hydrogen, C_1-C_4-alkyl or C_3-C_6-cycloalkyl, which are optionally substituted by hydroxy,

m is 1 or 2,

R^5 is hydrogen,

or

a radical of the formula $CO-NR^6R^7$ in which

R^6 is hydrogen, or C_1-C_4-alkyl,

R^7 is hydrogen, C_1-C_4-alkyl, C_3-C_6-cycloalkyl, benzyl, phenethyl or phenyl, where C_1-C_4-alkyl, C_3-C_6-cycloalkyl and phenyl are optionally substituted by radicals independently of one another selected from the group of hydroxy, halogen, aminocarbonyl, hydroxycarbonyl, cyano, C_1-C_4-alkylamino, C_1-C_4-alkyl, C_1-C_4-alkoxy, C_3-C_6-cycloalkyl, C_1-C_4-alkoxycarbonyl and 5- to 6-membered heteroaryl, and

benzyl and phenethyl are optionally substituted by radicals independently of one another selected from the group of hydroxy, halogen, aminocarbonyl, cyano, C_1-C_4-alkylamino, C_1-C_4-alkyl, C_1-C_4-alkoxy, C_3-C_6-cycloalkyl and 5- to 6-membered heteroaryl,

or in which

the group NR^6R^7

is a 5- to 6-membered heterocyclyl radical which is linked via the nitrogen atom and which is optionally substituted by radicals independently of one another selected from the group of C_1-C_4-alkyl, C_1-C_4-alkoxy, 1,3-dioxapropane-1,3-diyl, 1,4-dioxabutane-1,4-diyl, oxo, C_3-C_6-cycloalkyl, hydroxy, halogen, C_1-C_4-alkylcarbonyl, C_3-C_6-cycloalkylcarbonyl, phenylcarbonyl, C_1-C_4-alkoxycarbonyl, phenyl and 5- to 6-membered heteroaryl,

where phenyl is optionally substituted by radicals independently of one another selected from the group of halogen, cyano, trifluoromethyl, trifluoromethoxy, C_1-C_4-alkyl, C_1-C_4-alkoxy and C_1-C_4-alkylsulfonamino, and

C_1-C_4-alkyl is optionally substituted by radicals independently of one another selected from the group of hydroxy and phenyl, and

C_1-C_4-alkylcarbonyl is optionally substituted by radicals independently of one another selected from the group of hydroxy and C_1-C_4-alkoxy,

or

a radical of the formula $CO\text{-}R^9$ in which

R^9 is C_1-C_4-alkyl, C_3-C_8-cycloalkyl, phenyl or 5- to 6-membered heteroaryl, which are optionally substituted by radicals selected from the group of hydroxy, hydroxycarbonyl, halogen, cyano, acetamido, C_1-C_4-alkyl, C_1-C_4-alkoxy, C_3-C_6-cycloalkyl, C_1-C_4-alkylcarbonyl, phenyl and 5- to 6-membered heteroaryl,

R^{10} is hydrogen or C_1-C_4-alkyl,

and the salts, solvates and solvates of the salts thereof.

3. (Currently amended) ~~A~~ The compound of claim 1 ~~the formula (I)~~

in which

R^1 is phenyl which is optionally substituted by radicals selected from the group of fluorine, chlorine, bromine, cyano, and trifluoromethyl,

R^2 is phenyl which is optionally substituted by fluorine,

R^3 is hydrogen or C_1-C_4-alkyl,

R^4 is hydrogen or C_1-C_4-alkyl which is optionally substituted by hydroxy

R^5 is hydrogen,

or

a radical of the formula $CO\text{-}NR^6R^7$ in which

R^6 is hydrogen, or C_1-C_4-alkyl,

R^7 is C_1-C_4-alkyl, C_3-C_6-cycloalkyl, benzyl, phenethyl or phenyl, where C_1-C_4-alkyl, C_3-C_6-cycloalkyl, and phenyl are optionally substituted by radicals independently of one another selected from the group of hydroxy, fluorine, chlorine, aminocarbonyl, hydroxycarbonyl, cyano, dimethylamino, methoxy, ethoxy, C_1-C_4-alkoxycarbonyl or thienyl,

and

benzyl and phenethyl are optionally substituted by radicals independently of one another selected from the group of hydroxy, fluorine, chlorine, aminocarbonyl, cyano, dimethylamino, methoxy, ethoxy or thienyl,

or in which

the group NR^6R^7

is a 5- to 6-membered heterocyclyl radical which is linked via the nitrogen atom and which is optionally substituted by radicals independently of one another selected from the group of C_1-C_4-alkyl, 1,3-dioxapropane-1,3-diyl, 1,4-dioxabutane-1,4-diyl, oxo, hydroxy, C_1-C_4-alkylcarbonyl, C_3-C_6-cycloalkylcarbonyl, phenylcarbonyl, C_1-C_4-alkoxycarbonyl, phenyl and 6-membered heteroaryl,

where phenyl is optionally substituted by radicals independently of one another selected from the group of fluorine, chlorine, cyano, trifluoromethyl, trifluoromethoxy, C_1-C_4-alkyl, C_1-C_4-alkoxy and C_1-C_4-alkylsulfonamino,

and

C_1-C_4-alkyl is optionally substituted by radicals independently of one another selected from the group of hydroxy and phenyl, and

C_1-C_4-alkylcarbonyl is optionally substituted by radicals independently of one another selected from the group of hydroxy and methoxy,

or

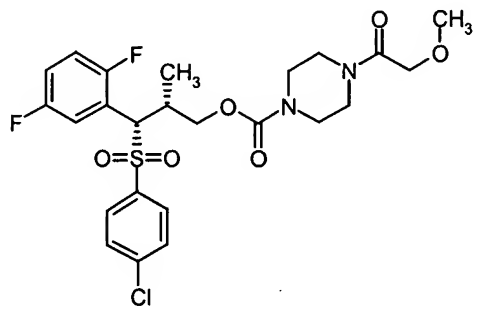
a radical of the formula CO-R^9 in which

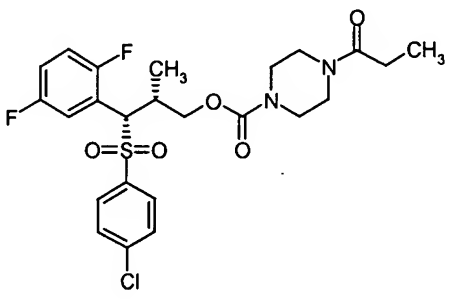
R^9 is phenyl,

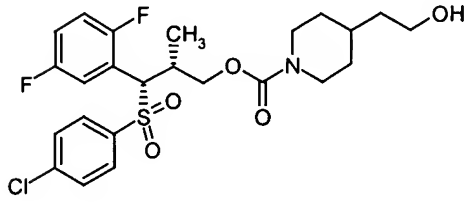
R^{10} is hydrogen or C_1-C_3-alkyl,

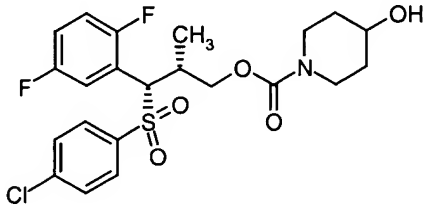
and the salts, solvates and solvates of the salts thereof.

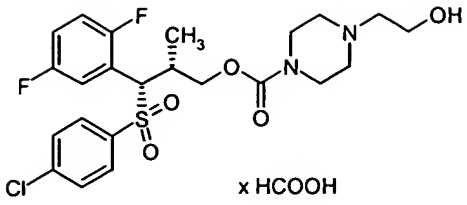
4. (Currently amended) ~~A~~ The compound as claimed in claim 1, of the following formula







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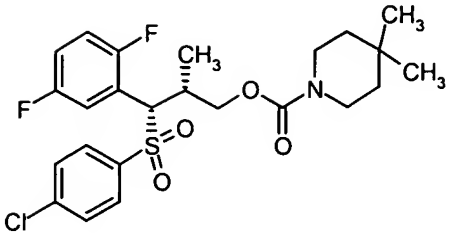
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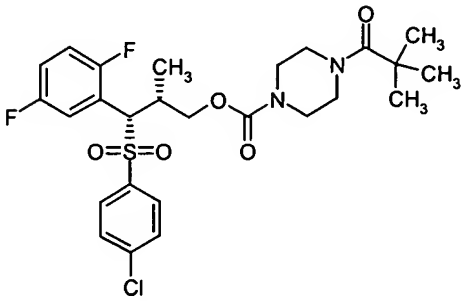
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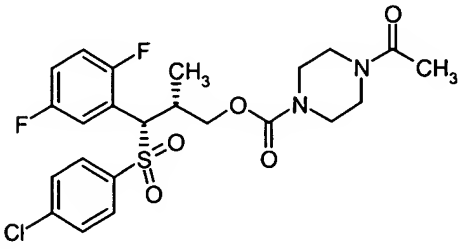
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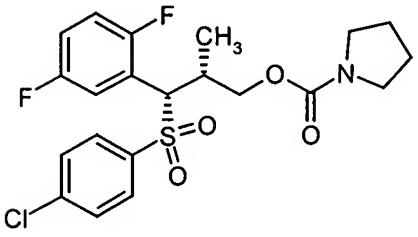
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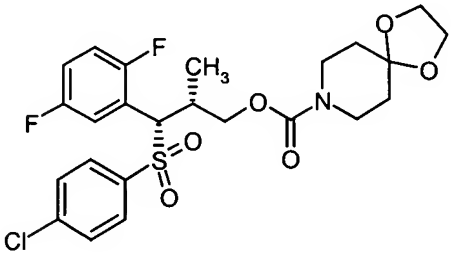
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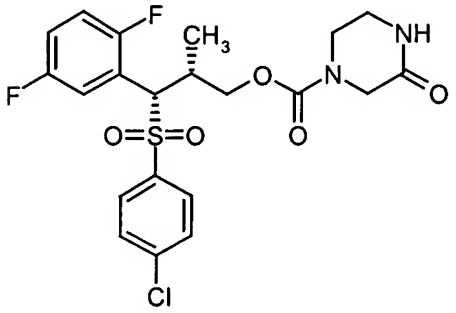
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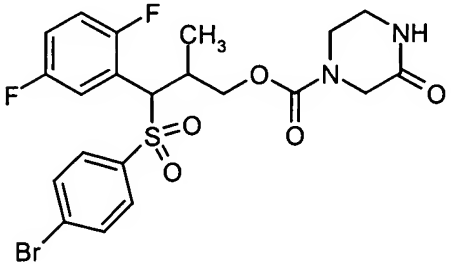
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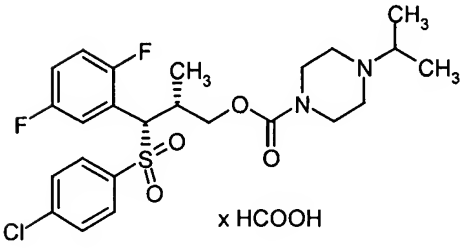
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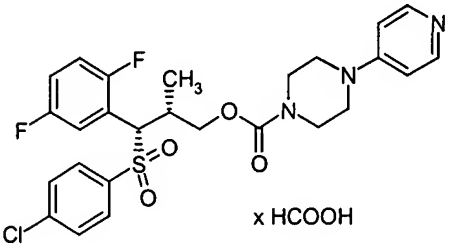
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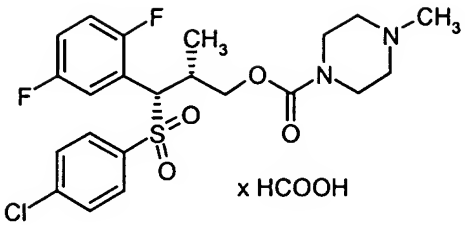
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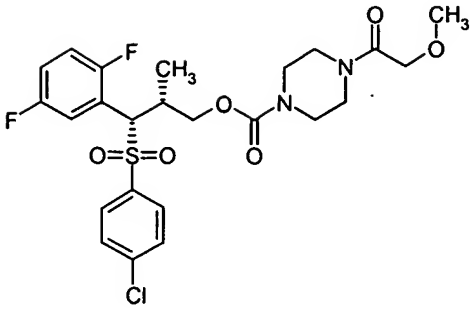
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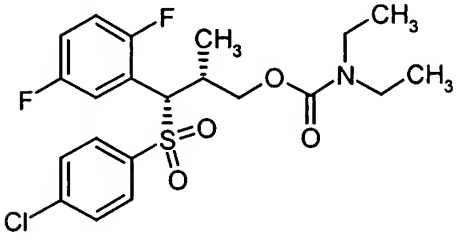
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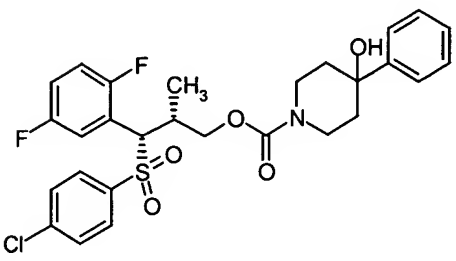
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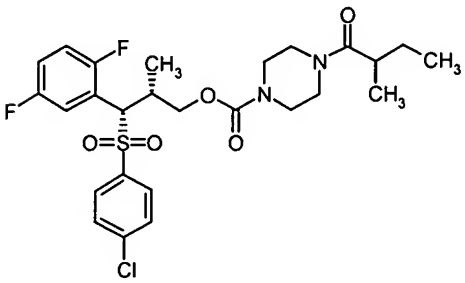
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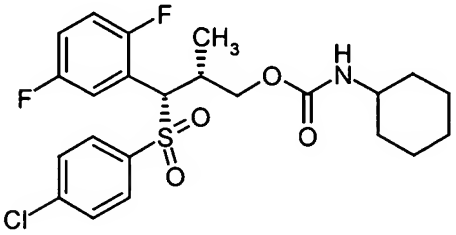
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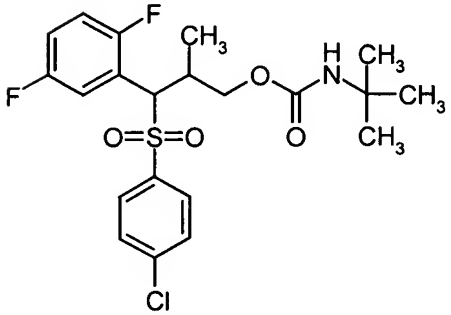
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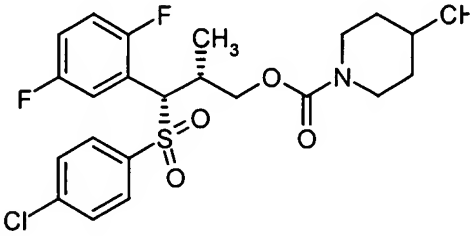
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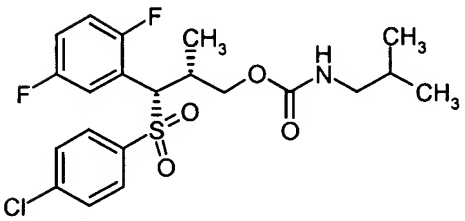
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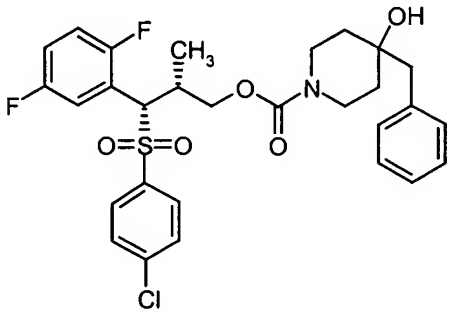
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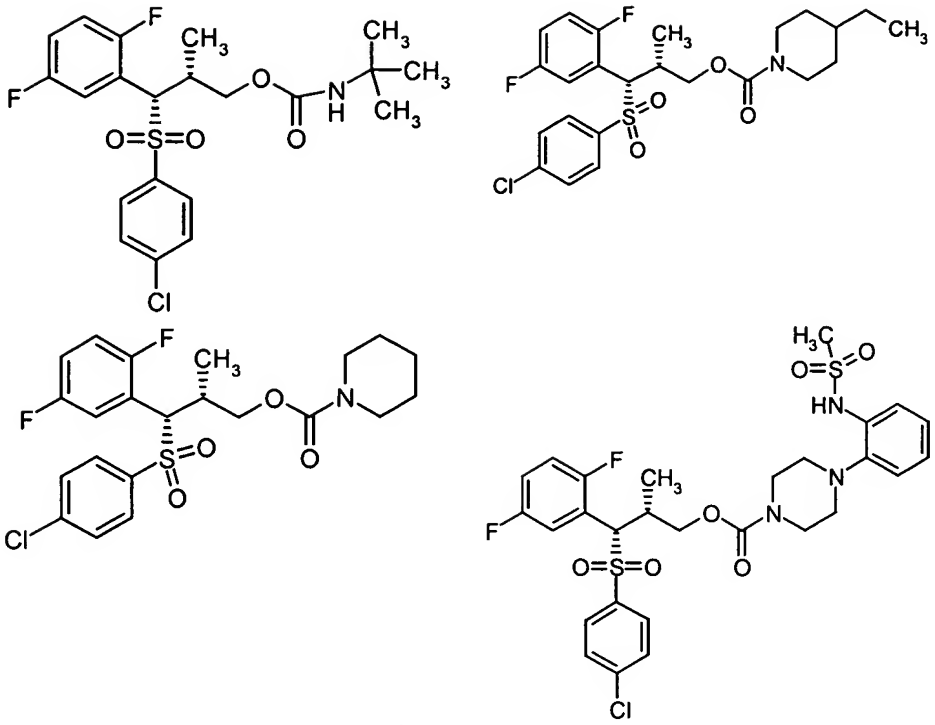
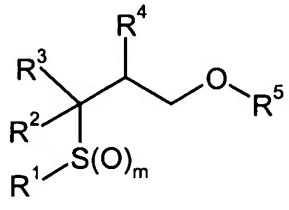


and the salts, solvates and solvates of the salts thereof.

5. (Currently amended) A compound ~~as claimed in claim 1,~~ of the formula



(I),

in which

R^1 and R^2 are independently of one another phenyl, which is optionally substituted by radicals selected from the group of halogen, cyano, trifluoromethyl, trifluoromethoxy, C_1-C_6-alkyl, C_3-C_8-cycloalkyl, C_1-C_6-alkoxy and C_1-C_6-alkylthio,

R^3 and R^4 are independently of one another hydrogen, C_1-C_6-alkyl or C_3-C_8-cycloalkyl,

m is 1 or 2,

and

R^5 is hydrogen,

is a radical of the formula $CO\text{-}NR^6R^7$

in which R^6 and R^7 are independently of one another hydrogen, C_1-C_6-alkyl, C_3-C_8-cycloalkyl, phenyl or 5- to 6-membered heteroaryl, or

in which the group NR^6R^7 is a 4- to 10-membered heterocyclyl radical which is linked via a nitrogen atom,

where alkyl, cycloalkyl, phenyl, heteroaryl and heterocyclyl are optionally substituted by radicals selected from the group of hydroxy, halogen, aminosulfonyl, carboxamido, cyano, formamido, acetamido, C_1-C_6-alkyl, C_1-C_6-alkoxy, C_3-C_8-cycloalkyl, C_1-C_6-alkanoyl, phenyl and 5- to 6-membered heteroaryl,
and where heterocyclyl is optionally is benzo-substituted,

is a radical of the formula $CO\text{-}OR^8$

in which R^8 is C_1-C_6-alkyl or C_3-C_8-cycloalkyl,

where alkyl and cycloalkyl are optionally substituted by radicals selected from the group of hydroxy, halogen, aminosulfonyl, carboxamido, cyano, formamido, acetamido, C_1-C_6-alkyl, C_1-C_6-alkoxy, C_3-C_8-cycloalkyl, C_1-C_6-alkanoyl, phenyl and 5- to 6-membered heteroaryl,

or

is a radical of the formula $CO\text{-}R^9$,

in which R^9 is C_1-C_6-alkyl, C_3-C_8-cycloalkyl, C_6-C_{10}-aryl or 5- to 10-membered heteroaryl,

where alkyl, cycloalkyl, aryl and heteroaryl are optionally substituted by radicals selected from the group of hydroxy, halogen, aminosulfonyl, carboxamido, cyano, formamido, acetamido, C_1-C_6-alkyl, C_1-C_6-alkoxy, C_3-C_8-cycloalkyl, C_1-C_6-alkanoyl, phenyl and 5- to 6-membered heteroaryl,

and the salts, solvates and solvates of the salts thereof.

6. (Currently amended) ~~A~~ The compound as claimed in claim 1, where

R^1 is 2-fluorophenyl which is optionally ~~additionally~~ substituted once ~~to~~ or twice by radicals selected from the group of fluorine, chlorine, cyano, trifluoromethyl, methyl and ethyl,

R^2 is 4-chlorophenyl which is optionally ~~additionally~~ substituted once ~~to~~ or twice by radicals selected from the group of fluorine, chlorine, cyano, trifluoromethyl, methyl and ethyl,

R^3 is hydrogen,

R^4 is hydrogen or C_1-C_4-alkyl,

m is 1 or 2,

and

R^5 is a radical of the formula $CO\text{-}NR^6R^7$,

in which R^6 and R^7 are independently of one another hydrogen, C_1-C_6-alkyl, C_3-C_8-cycloalkyl or benzyl,

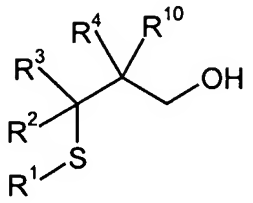
or

in which the group NR^6R^7
is pyrrolidin-1-yl, piperidin-1-yl, morpholin-1-yl, thiomorpholin-1-yl, piperazin-1-yl, 4-methylpiperazin-1-yl or 4-ethylpiperazin-1-yl,

and the salts, solvates and solvates of the salts thereof.

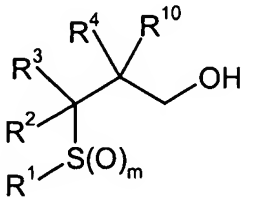
7. (Currently amended) A process for preparing compounds as claimed in claim 1, of ~~the~~ formula (I), characterized in that

[A] compounds of the formula



(II),

in which R^1 to R^4 and R^{10} have the meanings indicated in claim 1, are first converted with appropriate equivalents of a suitable oxidizing agent ~~such as, for example, peroxides or peracids, preferably meta-chloroperbenzoic acid (mCPBA)~~ into compounds of the formula



(Ia),

in which R^1 to R^4, R^{10} and m have the meanings indicated in claim 1,

and the latter are then reacted in an acylation step, where appropriate in the presence of a base, with a compound of the formula

$$R^{5a}\text{–}X \quad \text{(III)},$$

in which

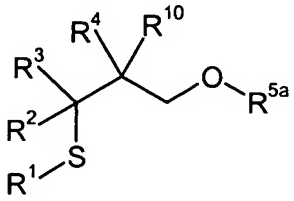
R^{5a} has the meanings indicated <u>in claim 1</u> ~~above~~ for R^5 with the exception of hydrogen,

and

X is a suitable leaving group ~~such as, for example, halogen~~,

or

[B] compounds of the formula (II) are first converted with a compound of the formula (III), where appropriate in the presence of a base, into compounds of the formula



(IV),

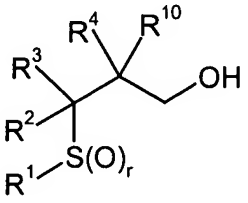
in which

R^1 to R^4, R^{5a} and R^{10} have the meanings indicated above and in claim 1,

and the latter are then reacted with appropriate equivalents of a suitable oxidizing agent, ~~preferably meta-chloroperbenzoic acid,~~

or

[C] compounds of the formula



(V),

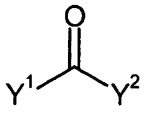
in which

R^1 to R^4 and R^{10} have the meanings indicated in claim 1,

and

r is zero, 1 or 2,

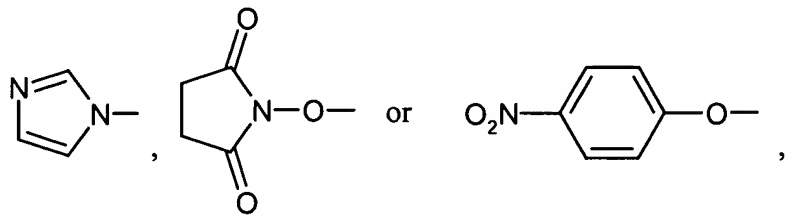
are first reacted, where appropriate in the presence of a base, with a compound of the formula



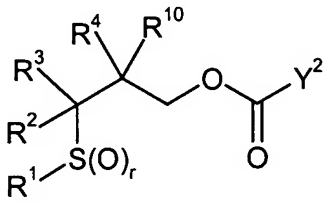
(VI),

in which

Y^1 and Y^2 are identical or different and are a suitable leaving group selected from ~~such as, for example,~~ halogen, $-OCCl_3$ or a group of the formula



to give compounds of the formula

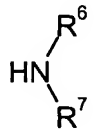


(VII),

in which

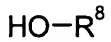
R^1 to R^4, R^{10}, r and Y^2 have the meanings indicated above and in claim 1,

the latter are then, where appropriate in the presence of a base and/or of a suitable catalyst, converted with a compound of the formulae



(VIII)

or

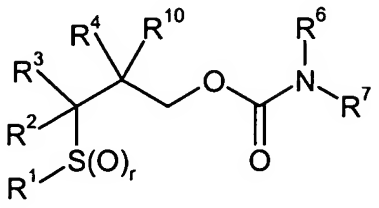


(IX)

in which

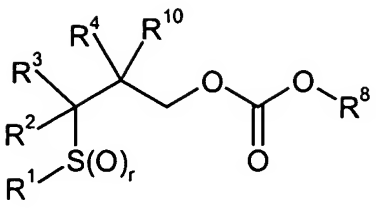
R^6, R^7 and R^8 have the meanings indicated in claim 1 ~~above~~,

into compounds of the formulae



(X)

or



(XI)

in which

R^1 to R^4, R^6 to R^8, R^{10} and r have the meanings indicated above and in claim 1,

and the latter are then, where r is zero, reacted with appropriate equivalents of a suitable oxidizing agent, ~~preferably meta-chloroperbenzoic acid,~~

and the resulting compounds (I) and (Ia) are converted where appropriate with the appropriate solvents and/or bases or acids into their solvates, salts and/or solvates of the salts.

8. (Cancelled).

9. (Original) A medicament comprising at least one compound as claimed in claim 1 in combination with at least one pharmaceutically acceptable, pharmaceutically acceptable carrier or excipient.

10. (Cancelled).

11. (Currently amended) ~~The medicament as claimed in claim 9~~ A method for the treatment ~~and/or prophylaxis~~ of Alzheimer's disease comprising the step of administering to a human or animal an effective amount of a medicament of claim 9.

12. (Currently amended) A method for the treatment of ~~controlling~~ Alzheimer's disease ~~in humans and animals~~ comprising the step of ~~by~~ administering to a human or animal an effective amount of at least one compound ~~as claimed in~~ of claim 1.